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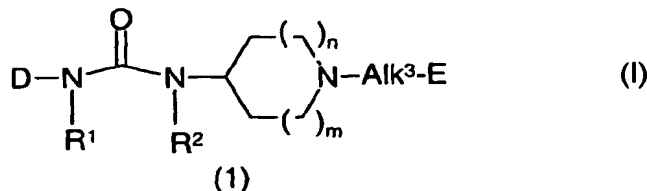
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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: PIPERIDIN-4-YL UREA DERIVATIVES AND RELATED COMPOUNDS AS CHEMOKINE RECEPTOR  
INHIBITORS FOR THE TREATMENT OF INFLAMMATORY DISEASES



(57) Abstract: Cyclic amino derivatives of formula (1) are described: (1) wherein: m and n, which may be the same or different, is each zero or the integer 1 or 2; Alk<sup>3</sup> is a covalent bond or a straight or branched C<sub>1-6</sub> alkylene chain; R<sup>1</sup> and R<sup>2</sup>, which may be the same or different, is each a hydrogen atom or a straight or branched C<sub>1-6</sub> alkyl group; D is an optionally substituted aromatic or heteroaromatic group; E is an optionally substituted C<sub>7-10</sub> cycloalkyl, C<sub>7-10</sub> cycloalkenyl or C<sub>7-10</sub> polycycloaliphatic group; and the salts, solvates, hydrates, tautomers or N-oxides thereof. The compounds are potent and selective modulators of the interaction between CXCR3 and its chemokine ligands and are thus of use in medicine, for example in the prevention or treatment of conditions involving inappropriate T-cell trafficking such as certain inflammatory, autoimmune and immunoregulatory disorders as described hereinafter.

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# INTERNATIONAL SEARCH REPORT

International application No

PCT/GB 03/00720

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/4468 A61K31/453 A61K31/4535 A61K31/4709 A61K31/17  
C07D211/58 C07D405/12 C07D409/12 C07D401/12 C07C275/28

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K C07D C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3 424 761 A (AH ROBINS CO LTD (US)) 28 January 1969 (1969-01-28) cited in the application formula (I), column 1 column 1, line 45 column 2, line 11	1, 2, 6-9, 11
Y	WO 00 76512 A (MERCK & CO INC (US)) 21 December 2000 (2000-12-21) cited in the application page 4, line 6 - line 7; claims; examples	1-12
Y	EP 0 916 668 A (BANYU PHARMA CO LTD) 19 May 1999 (1999-05-19) cited in the application page 2, paragraph 1; claims; examples	1-12
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the international search

12 May 2003

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## INTERNATIONAL SEARCH REPORT

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00 76973 A (MERCK & CO INC (US)) 21 December 2000 (2000-12-21) cited in the application page 4, line 6 - line 7; claims; examples ---	1-12
Y	SAUNDERS J ET AL: "OPPORTUNITIES FOR NOVEL THERAPEUTIC AGENTS ACTING AT CHEMOKINE RECEPTORS" DRUG DISCOVERY TODAY, ELSEVIER SCIENCE LTD, GB, vol. 4, no. 2, February 1999 (1999-02), pages 80-92, XP000882226 ISSN: 1359-6446 compounds 7 and 16, pages 90 and 91 ---	1-12
A	WO 01 14333 A (ASTRAZENECA UK LTD (GB)) 1 March 2001 (2001-03-01) cited in the application claims; examples ---	1-12
P,A	WO 02 16353 A (CELLTECH R&D LTD (GB)) 28 February 2002 (2002-02-28) cited in the application the whole document -----	1-12

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/GB 03/00720

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.: 1, 2, 4-12 (partly)  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1, 2, 4-12 (partly)

Present claim set relates to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the 1,4-substituted piperidine derivatives of claim 3, i.e. to compounds of formula (1) wherein m and n are each 1.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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